B1 cont.

08/467,404, filed June 6, 1995, abandoned, the contents of which is incorporated by reference herein.--

In the Claims:

Please cancel claims 1, 2, 28, 29 and 54.

Please amend the claims as follows:

Sub F1

B2

25. (twice amended) A compound of claim 46, wherein:

R is hydrogen, halogen, lower alkoxy, alkynyl or substituted alkynyl; and

R_3 is one of -C(O)-CH_2-Y-G, -C(O)-CH_2-O-D, -C(O)-CH_2-O-E, or -C(O)-CH_2-O-Y′-Z-G [or -C(O)-CH_2-O-Y′-Z-A].

Sub C1

27. (once amended) A compound [of claim 26] which is 3α-hydroxy-3β-(4-hydroxybutynyl)-21-(pyrid-4-ylthio)-5β-pregnan-20-one; 3α-hydroxy-21-(pyrid-4-yloxy)-5β-pregnan-20-one; 3α-hydroxy-2β-propoxy-21-(pyrid-4-ylthio)-5α-pregnan-20-one N-methyl iodide; 3α-hydroxy-21-(pyrid-4-ylthio)-5α-pregnan-20-one N-methyl iodide; 3α-hydroxy-21-(pyrid-4-yl)thio-5β-pregnan-20-one N-methyl iodide; 3α-hydroxy-3β-methoxymethyl-21-(pyrid-4-ylthio)-5α-pregnan-20-one; 21-(4′-dimethylaminophenylthio)-3α-hydroxy-3β-methoxymethyl-5α-pregnan-20-one; 3α-hydroxy-3β-methoxymethyl-21-(4′-nitrophenylthio)-5α-pregnan-20-one; 3α-hydroxy-3β-methoxymethyl-21-(4′-nitrophenylsulfinyl)-5α-pregnan-20-one; 3α-hydroxy-3β-methoxymethyl-21-(4′-nitrophenylsulfonyl)-5α-pregnan-20-one; 21-(4′-dimethylaminophenoxy)-

B3

Sub C2

3α-hydroxy-3β-methyl-5α-pregnan-20-one; 3α-hydroxy-3β-methyl-21-(4′-nitrophenoxy)-5α-pregnan-20-one; 3α-hydroxy-3β-methyl-21-(4′-trimethylammoniumphenoxy)-5α-pregnan-20-one iodide salt; 21-(4′-fluorophenylthio)-3α-hydroxy-3β-methoxymethyl-5α-pregnan-20-one; 3β-ethynyl-3α-hydroxy-21-(pyrid-4-ylthio)-5α-pregnan-20-one; 3β-(4′-acetylphenyl)ethynyl-3α-hydroxy-21-(pyrid-4-ylthio)-5β-pregnan-20-one; 3α-hydroxy-2β-propoxy-21-(-4′-N,N,N-trimethylammoniumphenoxy)-5α-pregnan-20-one iodide salt; 3α-hydroxy-3β-methyl-21-(quinolin-6-yloxy)-5α-pregnan-20-one N-methyl iodide; 3α-hydroxy-3β-methyl-21-(quinolin-6-yloxy)-5α-pregnan-20-one; 21-(4′fluorophenyl)sulfonyl-3α-hydroxy-3β-methoxymethyl-5α-pregnan-20-one; 3α-hydroxy-3β-methoxymethyl-21-(4′-pyrrolidinophenyl)sulfonyl-5α-pregnan-20-one or 21-(4′-aminophenylthio)-3α-hydroxy-3β-methoxymethyl-5α-pregnan-20-one.

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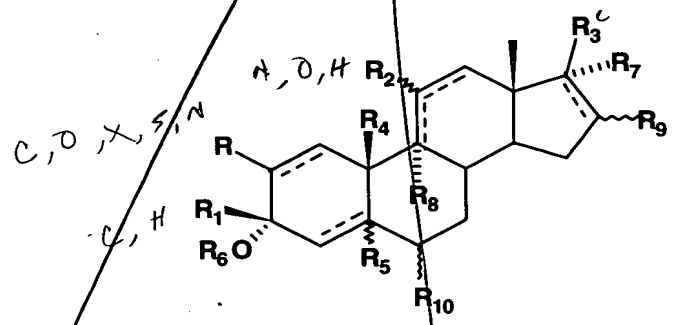
46. (once amended) A compound of the formula:



or a physiologically acceptable salt or 3-ester thereof; wherein

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkynyl or substituted alkynyl;

R_1 is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocyanoalkyl,

azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

R_2 is one of hydrogen, alkoxy, a keto group or a dimethylamino group;

R_3 is one of -C(O)-CH_2-Y-G, -C(O)-CH_2-O-D, -C(O)-CH_2-O-E, -C(O)-CH_2-Z-G, or -C(O)-CH_2-Y′-Z-G [or -C(O)-CH_2-Y′-Z-A];

Y is one of S, SO or SO_2;

Y′ is one of O, S, SO or SO_2;

Z is one of alkylene, alkenylene or alkynylene;

G is one of C-attached heteroaryl, optionally substituted aryl, a quaternary ammonium salt of a nitrogen containing heteroaryl group or a quaternary ammonium salt of an amino substituted aryl group;

D is C-attached heteroaryl or a quaternary ammonium salt of a nitrogen containing heteroaryl group;

E is optionally substituted aryl or a quaternary ammonium salt of an amino substituted aryl group;

[A is one of amino, amido, cyano, thiocyano, azido, nitro, hydroxy, halo, carboxyl, alkoxy, alkoxycarbonyl, alkanoyloxy, sulfate, thiosulfate, sulfonate, alkylthio, alkylsulfinyl, alkylsulfonyl or mercapto;]

R_4 is one of hydrogen or methyl;

R_5, R_6, R_7, R_8, R_9 and R_{10} are each hydrogen; and

the dotted lines all represent single bonds; with the following provisos:

when R_3 is -C(O)-CH_2-Y-G, and G is C-attached heteroaryl or optionally substituted aryl, then R_1 is other than hydrogen or alkyl;

when R_3 is -C(O)-CH_2-O-E, and E is optionally substituted aryl, then R_1 is other than hydrogen;

when R_3 is -C(O)-CH_2-Y′-Z-G, and Y′ is O, and G is aryl, then R_1 is other than hydrogen;

when R_3 is -C(O)-CH_2-Y′-Z-G, and Y′ is S, SO, or SO_2, and G is aryl, then R_1 is other than hydrogen or alkyl; and

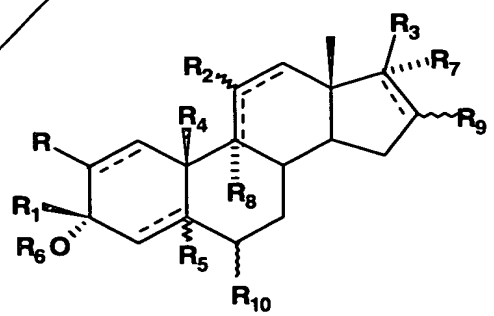
when R_3 is -C(O)-CH_2-Z-G, then R_1 is other than hydrogen[;

when R_3 is -C(O)-CH_2-Y′-Z-A, and Y′ is O, and A is hydrogen, halo, carboxyl, alkoxycarbonyl, alkoxy, cyano or amino, then R_1 is other than hydrogen; and

when R_3 is -C(O)-CH_2-Y′-Z-A, and Y′ is S, SO, or SO_2, and A is hydrogen, halo, carboxyl, alkoxycarbonyl, or amino, then R_1 is other than hydrogen or alkyl].

Please add the following new claims:

--58. A compound of the formula:



or a physiologically acceptable salt or 3-ester thereof; wherein

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkynyl or substituted alkynyl;

R_1 is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocyanoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

R_2 is one of hydrogen, alkoxy, a keto group or a dimethylamino group;

R_3 is -C(O)CH_2S-(4-fluorophenyl), -C(O)CH_2O-(6-quinolinyl), -C(O)CH_2SO_2-(4-fluorophenyl), -C(O)CH_2SO_2-(4-pyrrolidinophenyl), -C(O)CH_2CH_2-(4-pyridyl), -C(O)CH_2O-(4-nitrophenyl), -C(O)CH_2O-(4-dimethylaminophenyl), -C(O)CH_2SO-(4-nitrophenyl) or -C(O)CH_2SO_2-(4-nitrophenyl);

R_4 is one of hydrogen or methyl;

R_5, R_6, R_7, R_8, R_9 and R_{10} are each hydrogen; and

the dotted lines all represent single bonds; with the following provisos:

when R_3 is -C(O)CH_2S-(4-fluorophenyl), -C(O)CH_2SO_2-(4-fluorophenyl), -C(O)CH_2SO_2-(4-pyrrolidinophenyl), -C(O)CH_2SO-(4-nitrophenyl) or -C(O)CH_2SO_2-(4-nitrophenyl), then R_1 is other than hydrogen or alkyl; and

when R_3 is -C(O)CH_2O-(4-nitrophenyl), -C(O)CH_2O-(4-dimethylaminophenyl) or -C(O)CH_2CH_2-(4-pyridyl), then R_1 is other than hydrogen.